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SYNTHESIS AND BIOLOGICAL SCREENING OF NEWLY DESIGN CHALCONES

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ABSTRACT

Present work deals with the synthesis of five different series of chalcones. 2,4 dihydroxyacetophenone was prepared by reported method which was later on condensed with various substituted aldehyde by Claisen - Schmidt condensation reaction. One of the products of the series was characterized by IR, ^{1}H-NMR spectroscopic methods. Physical parameters and antibacterial screening was done for all the series of compound and all the compounds were found to be antibacterials.

Keywords: ***Antimicrobial activity,2,4 dihydroxyacetophenone ,resorcinol, biologically etc .***

INTRODUCTION

Chalcones are considered to be the precursor of flavonoids and isoflavonoids and are mostly found in edible plants. The chemistry of chalcones has great interest for scientific studies throughout the world. Especially interest has been focused on the synthesis and biodynamic activities of chalcones[1],[2]. In laboratory chalcone can be made by Claisen-Schmidt reaction. Generally chalcones are unsaturated ketone containing the reactive keloethylenic group (CO-CH=CH) and generally synthesized by the condensation of acetophenone and benzaldehyde but in present research we synthesized it by condensing various substituted benzaldehyde with **2, 4 dihydroxyacetophenone.** Biologically chalcones are very important as it bears antibacterial[3], antioxident[4], antiviral[5], anxiolytic, antidepressant and antinociceptive properties[6], worldwide the biological applications was studied by researchers[7].

Chalcones and their derivatives also find application as stabilizer, scintillator, photosensitive, fluorescent brightening agent as well as organic brightening agents,fluorescent materials[7], antioxidant[8].

MATERIALS AND METHODS

The melting point of newely synthesized compound was found in open capillary paraffin oil bath and is corrected. ^{1}HNMR spectra recorded on Bruker AM 400 instrument using tetra methyl silane (TMS) as an internal reference and DMSO -d^6 as solvent.IR spectra were recorded on a Shimadzu IR Spectrophotometer (KBr, v max in cm^{-1}).

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EXPERIMENTAL

1. Synthesis of 1-(2,4-dihydroxyphenyl)ethanone / (2,4 dihydroxyacetophenone)

2,4 dihydroxyacetophenone was synthesized by reported method. Synthesis was carried out by dissolving freshly fused and powdered zinc chloride (0.24 mole) in 32 ml of glacial acetic acid by heating in sand bath. Dry resorcinol (0.2 mole) was added with constant stirring at 140°C. The solution was heated until it just begins to boil and kept for 20 minutes at 15°C. Dilute HCL (1:1) was added to the mixture and the solution was cooled to 5°C. The separated product was filtered and washed with dilute HCL. The product was recrystallised from hot water.

2. Synthesis of (2E)-2-(cyclohexa-2,4-dienylidene)-1-(2,4-dihydroxyphenyl)ethanone

Bezaldehyde (0.01mole) was dissolved in 10 ml ethanol (0.01mole) of 2,4 dihydroxyacetophenone was added with constant stirrer . 10 ml of 50% NaOH was added to it with 10- 15 min. The mix was poured over the crused ice. The results solution was acidified with conc. HCl then recrystallized from ethanol[9] .

3. Synthesis of (2E)-2-(4-chlorocyclohexa-2,4-dienylidene)-1-(2,4-dihydroxyphenyl)ethanone

4-chlorobezaldehyde (0.01mole) was dissolved in 10 ml ethanol. (0.01mole) of 2,4 dihydroxyacetophenone was added with constant stirring. 10 ml of 50% NaOH was added to it with stirring for 10- 15 min. The mix was poured over crused ice. The resulting solution was acidified with conc. HCl and then recrystallized from ethanol.

4. Synthesis of (2E)-1-(2,4-dihydroxyphenyl)-2-(2-methoxycyclohexa-2,4-dienylidene)ethanone

o-methoxy benza aldehyde (0.01mole) was dissolved in 10 ml of ethanol (0.01mole) of dihydroxyacetophenone was added with constant stirring 10 ml of 50% NaOH was added to it with stirring for10 - 15 min. The mix poured over crushed ice. The resulting solution was acidified with conc HCl and then recrystallized from ethanol.

5. (2E)-1-(2,4-dihydroxyphenyl)-2-(4-methoxycyclohexa-2,4-dienylidene)ethanone

p- methoxy benza aldehyde (0.01mole) was dissolved in 10 ml of ethanol Bezaldehyde (0.01mole) was dissolved in 10 ml ethanol (0.01mole) of 2,4 dihydroxyacetophenone was added with constant stirrer . 10 ml of 50% NaOH was added to it with 10- 15 min. The mix was poured over the crushed ice. The resulting solution was acidified with conc. HCl then recrystallized from ethanol.

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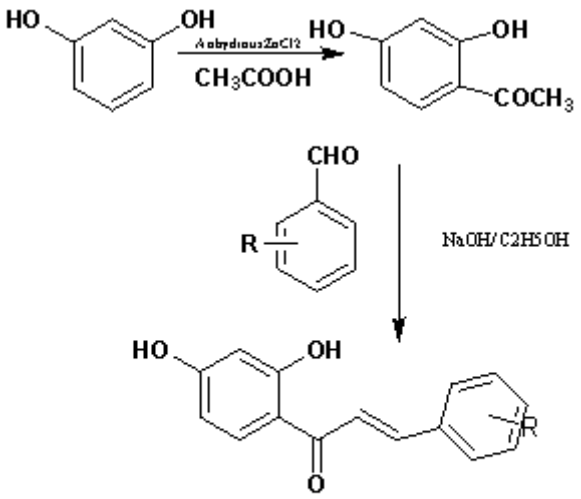
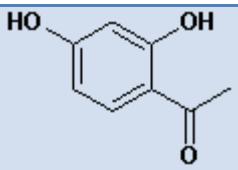
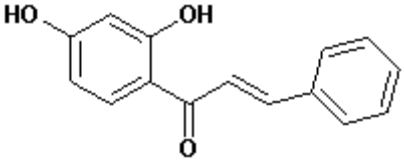
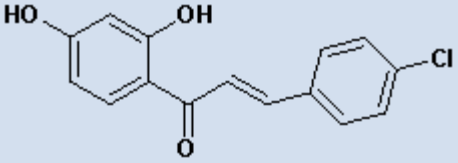
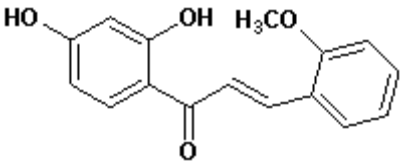
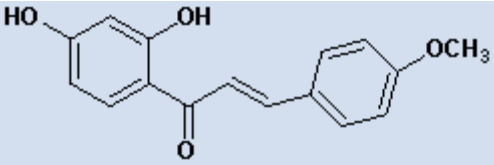
Scheme



R:H, Cl, o-OCH3, p- OCH3, 4-Cl

INTERPRETATION OF RESULT

	STRUCTURE	MOLECULAR FORMULA	M.P	SOLUBILITY
A		**$C_8H_8O_3$**	166°C	**DMSO**
B		**$C_{15}H_{12}O_3$**	170°C	**DMSO**
C		**$C_{15}H_{11}ClO_3$**	127°C	**DMSO**

D		$C_{16}H_{14}O_4$	DMSO	210°C
E		$C_{16}H_{14}O_4$	DMSO	120°C

SPECTRAL CHARACTERISTICS OF COMPOUND 'E'

IR

$C_{16}H_{14}O_4$, M.P. 127°C soluble in DMSO, IR(KBr): 2981 cm-1, (OH str), 1637 cm-1 (C=O), 1593, 1551 cm-1 (>C=C<), 2981 cm-1 (Ar-CH),1650 cm-1, 1089 cm-1 (C-O).

NMR

^{1}H NMR (DMSO-d_6) : δ(ppm) 2.187(s, 3H, OCH_3), 7.131(s, broad 2H, OH attached to aromatic ring), 7.245 and 7.266 (d, 3H, proton on aromatic ring), 5.221(s, 1H, CH), 5.551(s, 1H, CH), 7.809 and 7.788(d. 4H, proton on aromatic ring).

BIOLOGICAL SCREENING

SCREENING OF ANTIMICROBIAL ACTIVITY (AGAR WELL DIFFUSE METHOD):-

Bacterial strains like staphylococcus aureus and Escherichia coli were used to find out the antimicrobial activity by using Agar well Diffusion Technique. The agar plate surface is inoculated by spreading a volume of the microbial inoculums over the agar surface. A hole having diameter 6-8 mm is punched with sterile cork borer over the agar surface. Further 01, 05 &10mg/ml were introduced into the well. The control and experimental plates were incubated at 37°C for 24·

Compound	**Concentration (mg/ml)**	**Bacterial culture**	**Zone of inhibition**
E	01mg/ml	S. aureus	9mm
E	05mg/ml	S. aureus	11mm
E	10mg/ml	S. aureus	12mm

Zone of inhibition against S. aureus

Compound	**Concentration (mg/ml)**	**Bacterial culture**	**Zone of inhibition**
E	01mg/ml	E. Coli	8mm
E	05mg/ml	E. Coli	9mm
E	10mg/ml	E. Coli	10mm

Zone of inhibition against E. coli

RESULT AND DISCUSSION

The present study consult with the four newly design chalcones derived from various substituted Benzaldehyde with 2,4 dihydroxyacetophenone which involved the use KOH as an alkaline atmosphere . Synthesized compound E chalcone having α, β-unsaturated carbonyl group, characteristic usually appear as a prominent band of IR 1645 cm^{-1} (C=O str) i.e. in between 1625-1650 cm-1. and (C=C str) at 1505 cm^{-1}, band at 2981 cm^{-1} due to (OH str) Other region of IR absorption bands appear depends on the type of aromatic hetero rings as well as the substituents present on these rings. **E** exhibited singlet at δ 2.187 ppm due to three protons of OCH_3 groups. 2H showing broad signal at δ 7.131 which is due to attached to aromatic ring. 3H showing doublet at δ 7.245 and 7.266. Further signal at δ 5.221 and δ 5.551 due to CH=CH confirms the formation of chalcones. Signal at 7.809 and 7.788(d. 4H, proton on aromatic ring). All signals due to fourteen protons of aromatic ring and aliphatic appeared at expected region and hence confirms the formation of desired chalcones.

Antimicrobial activity was tested in vitro against staphylococcus aureus and Escherichia coli culture. The zone of inhibitions for each concentration 09, 11 &12 mm for S. aureus and for E. Coli it was 08, 09 & 10mm was measured at concentration 01, 05 & 10 mg/ml respectively. Compound **E shows better activity at concentration10 mg/ml** for the cultural and proved that can be used for medicinal testing.

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CONCLUSION

A series of five chalcones were synthesized with good yield. Confirmation of the structure was checked by physical, spectral data of compound E. The entire synthesized compound show good activity against bacterial cultural and are antibacterial.

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